

Claims

1. An oligopeptide compound comprising:

- (a) at least one nitrogen-containing basic group attached to at least one end of the oligopeptide; and
- 5 (b) two or more heterocyclic monomers, at least one of which is substituted in the heterocyclic part by a branched, cyclic or part cyclic C₃₋₅ alkyl group,
or a pharmaceutically acceptable salt or solvate thereof;
10 which compound, salt or solvate binds to the minor groove of DNA.

2. An oligopeptide compound comprising:

- (a) at least one nitrogen-containing basic group attached to at least one end of the oligopeptide; and
- 15 (b) two or more heterocyclic monomers, at least one of which is substituted on a ring C-atom in the heterocyclic part by a branched, cyclic or part cyclic C₃₋₅ alkyl group,
or a pharmaceutically acceptable salt or solvate thereof;
which compound, salt or solvate binds to the minor groove of DNA.

20

3. A compound as claimed in Claim 1 or Claim 2, wherein the nitrogen-containing basic group, in its neutral state, has a pK_a in water of at least 4.

25 4. A compound as claimed in Claim 3, wherein the nitrogen-containing basic group is an amidino, guanidino or amino group, any of which may be cyclic or acyclic.

30 5. A compound as claimed in any one of the preceding claims, wherein each heterocyclic group is a 4- to 12-membered heterocyclic group containing one or more heteroatoms selected from N, O and S.

6. A compound as claimed in Claim 5, wherein each heterocyclic group is independently aromatic or part-aromatic.

7. A compound as claimed in Claim 6, wherein each heterocyclic group that is present is independently selected from the group consisting of 5 pyrrolyl, imidazolyl, thiazolyl, oxazolyl, benzoxazolyl, furanyl, thienyl, pyridyl and coumarinyl.

8. A compound as claimed in Claim 7, wherein at least one heterocyclic group that is present is a thiazolyl group.

9. A compound as claimed in Claim 8, wherein the thiazolyl group is a 1,3-thiazolyl group that is substituted in the 5-position by, as appropriate, the branched, cyclic or part cyclic C₃₋₅ alkyl group.

10. A compound as claimed in any one of the preceding claims, wherein the branched, cyclic or part cyclic C₃₋₅ alkyl group is isopropyl.

11. A compound as claimed in any one of the preceding claims, which has 20 a molecular weight of below 2000 g mol⁻¹.

12. A compound as claimed in any one of the preceding claims, which is bioavailable.

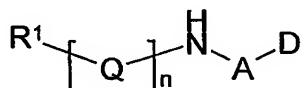
25 13. A compound as claimed in any one of the preceding claims, which has a high affinity for DNA sequences.

14. A compound as claimed in Claim 12 that binds to the minor groove of a DNA oligomer or polymer with a dissociation constant of less than 10⁻⁵ M.

30

15. A compound as claimed in Claim 1, wherein each essential branched, cyclic or part cyclic C_{3.5} alkyl substituent on a heterocyclic monomer is other than cyclopropyl.

5 16. A compound of formula I,



wherein

R¹ represents Het¹, R^{1a}C(O)- or D-A-N(H)-[Q]_n-C(O)-E-C(O)-;

R^{1a} represents

10 H,

aryl (which latter group is optionally substituted by one or more substituents selected from OH, halo, cyano, nitro, N(R^{3a})R^{3b}, C₁₋₄ alkyl and C₁₋₄ alkoxy),

15 aromatic or part-aromatic C₁₃₋₁₄ tricyclic carbocyclyl (which latter group is optionally substituted by one or more substituents selected from OH, halo, cyano, nitro, N(R^{3a})R^{3b}, C₁₋₄ alkyl and C₁₋₄ alkoxy, and which latter group, if part-aromatic, is optionally substituted in the non-aromatic part by one or two oxo groups) or

20 C₁₋₁₂ alkyl (which latter group is optionally substituted and/or terminated by one or more substituents selected from halo and aryl (which latter group is optionally substituted by one or more substituents selected from OH, halo, cyano, nitro, N(R^{3a})R^{3b}, C₁₋₄ alkyl and C₁₋₄ alkoxy));

25 A represents, at each occurrence when used herein, C₂₋₆ alkylene or A¹-C(O)N(H)-A², wherein A² is attached to the group D;

A¹ represents C₁₋₄ alkylene;

A² represents C₂₋₅ alkylene;

D represents, at each occurrence when used herein, $-N(R^{2a})R^{2b}$, $-C(=NR^{2c})N(R^{2d})R^{2e}$ or $-N(R^{2f})C(=NR^{2g})N(H)R^{2h}$;

R^{2a} and R^{2b} independently represent H, C_{1-6} alkyl, Het² or R^{2a} and R^{2b}

together represent $(CH_2)_{3-6}$, which alkylene group is optionally interrupted

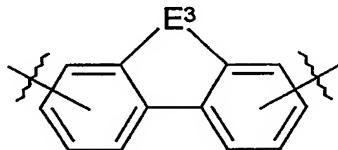
5 by NR^4 and/or is optionally substituted by one or more C_{1-4} alkyl groups;

R^4 represents H, C_{1-6} alkyl or Het³;

R^{2c} to R^{2h} independently represent H or C_{1-6} alkyl;

E represents $-E^1-Het^4-$, E^{2a} , $-(CH_2)_{0-3}N(H)C(O)-E^{2b}-C(O)N(H)(CH_2)_{0-3}-$ or a

10 structural fragment of the formula



wherein E^3 represents $(CH_2)_{1-2}$, $CH=CH$, $CH=N$, $CH_2-N(R^a)$, $(CH_2)_{0-1}C(O)$, $(CH_2)_{0-1}O$ or $(CH_2)_{0-1}S$;

R^a represents H or C_{1-6} alkyl;

15 E^1 represents $(CH_2)_{0-2}$ or $CH=CH$;

E^{2a} and E^{2b} independently represent C_{2-4} alkenylene, C_{3-6} cycloalkylene, phenylene or naphthylene;

20 Het¹ to Het⁴ independently represent four- to twelve-membered heterocyclic groups containing one or more heteroatoms selected from N, O and S, which heterocyclic groups are optionally substituted by one or more substituents selected from =O, OH, halo, cyano, nitro, $N(R^{3a})R^{3b}$, C_{1-4} alkyl and C_{1-4} alkoxy;

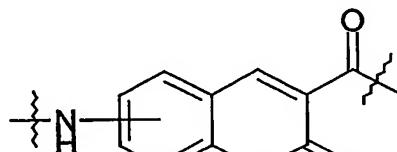
25 R^{3a} and R^{3b} independently represent, at each occurrence when used herein, H or C_{1-4} alkyl, or R^{3a} represents $-C(O)R^5$;

R^5 represents H or C_{1-4} alkyl;

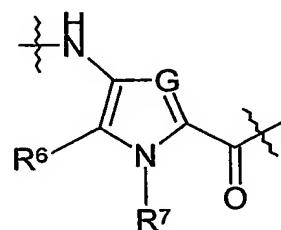
n represents, at each occurrence when used herein, 2, 3, 4 or 5;

each individual Q independently represents a structural fragment of formula

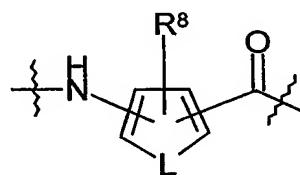
Ia, Ib, Ic, Id, Ie or If



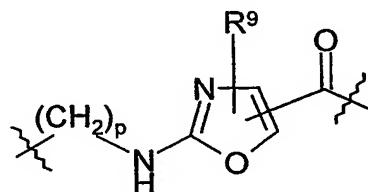
Ia



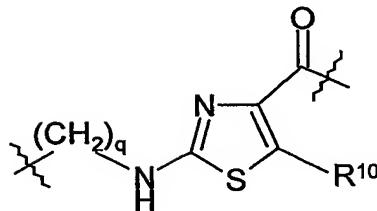
Ib



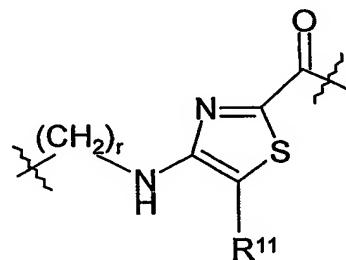
Ic



Id



Ie



If

wherein

R⁶ represents H or C₁₋₆ alkyl;

R⁷ represents C₁₋₁₂ alkyl;

R⁸, R⁹, R¹⁰ and R¹¹ independently represent H or C₁₋₁₂ alkyl;

G represents CH or N;

L represents O or S;

p, q and r independently represent 0, 1, 2 or 3; and

provided that the compound comprises at least one structural fragment of formula Ib, Ic, Id, Ie or If in which R⁶ or R⁷, R⁸, R⁹, R¹⁰ or R¹¹, respectively, represents branched, cyclic or part cyclic C₃₋₅ alkyl;

5 or a pharmaceutically acceptable derivative thereof.

17. A compound as claimed in Claim 16, wherein:

R^{1a} represents H or C₁₋₁₂ alkyl, which latter group is optionally substituted and/or terminated by one or more substituents selected from halo and aryl, which latter group is optionally substituted by one or more substituents selected from OH, halo, cyano, nitro, N(R^{3a})R^{3b}, C₁₋₄ alkyl and C₁₋₄ alkoxy; and
10 the compound comprises at least one structural fragment of formula Ib, Ic, Id, Ie or If in which R⁷, R⁸, R⁹, R¹⁰ or R¹¹, respectively, represents branched, cyclic or part cyclic C₃₋₅ alkyl.
15

18. A compound as claimed in Claim 16 or Claim 17, wherein aryl is phenyl or naphthyl.

20 19. A compound as claimed in any one of Claims 16 to 18, wherein alkyl and alkoxy groups are, where appropriate:

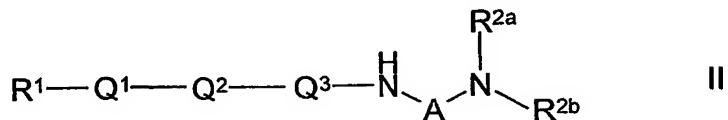
- (a) straight-chain;
- (b) branched-chain and/or cyclic; or
- (c) part cyclic/acyclic.

25

20. A compound as claimed in any one of Claims 16 to 19, wherein alkyl and alkoxy groups are, where appropriate:

- (a) saturated or unsaturated;
- (b) interrupted by one or more oxygen and/or sulfur atoms; and/or
- (c) unless otherwise specified, substituted by one or more halo atoms.

21. A compound as claimed in any one of Claims 16 to 20, which is a compound of formula II,



wherein

5 R¹ represents Het¹, R^{1a}C(O)- or D-A-N(H)-Q³-Q²-Q¹-C(O)-E-C(O)-;
 Q¹ is absent or represents a structural fragment of formula Ia, Ib, Ic, Id, Ie or If;
 Q² represents a structural fragment of formula Ib, Ie or If;
 Q³ represents a structural fragment of formula Ib, Id, Ie or If; and
 10 Het¹, R^{1a}, D, A, E, R^{2a}, R^{2b}, A and the structural fragments of formulae Ia, Ib, Ic, Id, Ie and If are as defined in any one of Claims 16 to 20;

provided that:

15 (a) at least one of Q^1 , Q^2 and Q^3 represents a structural fragment of formula Id, Ie or If; and

(b) at least one of R^6 or R^7 , R^8 , R^9 , R^{10} and R^{11} (whichever is/are present) represents branched, cyclic or part cyclic C_{3-5} alkyl,

or a pharmaceutically acceptable derivative thereof.

20

22. A compound as claimed in any one of Claims 16 to 21, wherein the compound comprises:

(a) at least one structural fragment of formula Ib in which G represents N and R⁶ represents branched, cyclic or part cyclic C₃₋₅ alkyl;

25 (b) at least one structural fragment of formula Id in which p represents 0 and R⁹ represents branched, cyclic or part cyclic C₃₋₅ alkyl; and/or

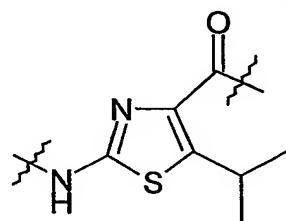
(c) at least one structural fragment of formula Ie in which q represents 0 and R¹⁰ represents branched, cyclic or part cyclic C₃₋₅ alkyl.

23. A compound as claimed in any one of Claims 16 to 23, wherein each of the at least one branched, cyclic or part cyclic C₃₋₅ alkyl groups independently represents isopropyl, cyclopropylmethyl, isopentyl or cyclopentyl.

5

24. A compound as claimed in any one of Claims 16 to 21, wherein the compound comprises at least one structural fragment of formula Ib, Ic, Id, Ie or If in which R⁷, R⁸, R⁹, R¹⁰ or R¹¹, respectively, represents isopropyl.

10 25. A compound as claimed in any one of Claims 16 to 24, which compound comprises at least one structural fragment of the formula



15 26. A compound as claimed in Claim 16, which compound is selected from the following:

- (i) N-[5-({{3-(dimethylamino)propyl}amino}carbonyl)-1-methyl-1H-pyrrol-3-yl]amino]carbonyl)-1-isopropyl-1H-pyrrol-3-yl]-4-[(3,3-dimethylbutanoyl)amino]-1-methyl-1H-pyrrole-2-carboxamide;
- (ii) N-[5-({{3-(dimethylamino)propyl}amino}carbonyl)-1-methyl-1H-pyrrol-3-yl]amino]carbonyl)-1-isopropyl-1H-pyrrol-3-yl]-4-(formylamino)-1-methyl-1H-pyrrole-2-carboxamide;
- (iii) N-[3-(dimethylamino)propyl]-2-({{4-({{4-(formylamino)-1-methyl-1H-pyrrol-2-yl}carbonyl}amino)-1-methyl-1H-pyrrol-2-yl}carbonyl}-amino)-5-isopropyl-1,3-thiazole-4-carboxamide;

(iv) *N*-[5-({[3-(Dimethylamino)propyl]amino}carbonyl)-1-isopropyl-1*H*-pyrrol-3-yl]-4-({[4-(formylamino)-1-isopropyl-1*H*-pyrrol-2-yl]carbonyl}-amino)-1-isopropyl-1*H*-pyrrole-2-carboxamide

(v) *N*-[5-({[5-({[3-(Dimethylamino)propyl]amino}carbonyl)-1-isopentyl-1*H*-pyrrol-3-yl]amino}carbonyl)-1-isopentyl-1*H*-pyrrol-3-yl]-4-(formylamino)-1-isopentyl-1*H*-pyrrole-2-carboxamide;

5 (vi) *N*-[5-({[5-({[3-(Dimethylamino)propyl]amino}carbonyl)-1-isopropyl-1*H*-pyrrol-3-yl]amino}carbonyl)-1-methyl-1*H*-pyrrol-3-yl]-4-(formylamino)-1-isopropyl-1*H*-pyrrole-2-carboxamide;

10 (vii) *N*-[5-({[3-(Dimethylamino)propyl]amino}carbonyl)-1-methyl-1*H*-pyrrol-3-yl]-2-({[4-(formylamino)-1-methyl-1*H*-pyrrol-2-yl]carbonyl}-amino)-5-isopropyl-1,3-thiazole-4-carboxamide;

15 (viii) 4-({[4-(Formylamino)-1-methyl-1*H*-pyrrol-2-yl]carbonyl}amino)-1-iso-propyl-*N*-[1-methyl-5-({[3-(4-morpholinyl)propyl]amino}carbonyl)-1*H*-pyrrol-3-yl]-1*H*-pyrrole-2-carboxamide;

15 (ix) 4-(Formylamino)-*N*-[1-isopropyl-5-({[1-methyl-5-({[3-(1-pyrrolidinyl)-propyl]amino}carbonyl)-1*H*-pyrrol-3-yl]amino}carbonyl)-1*H*-pyrrol-3-yl]-1-methyl-1*H*-pyrrole-2-carboxamide;

(x) *N*-[5-({[5-({[3-(Dimethylamino)propyl]amino}carbonyl)-1-methyl-1*H*-pyrrol-3-yl]amino}carbonyl)-1-isopentyl-1*H*-pyrrol-3-yl]-4-(formylamino)-1-methyl-1*H*-pyrrole-2-carboxamide;

20 (xi) 2-(Acetylamino)-*N*-[5-({[5-({[3-(dimethylamino)propyl]amino}carbonyl)-1-methyl-1*H*-pyrrol-3-yl]amino}carbonyl)-1-methyl-1*H*-pyrrol-3-yl]-5-isopropyl-1,3-thiazole-4-carboxamide;

25 (xii) 2-(Acetylamino)-*N*-[5-({[4-({[3-(dimethylamino)propyl]amino}carbonyl)-5-isopropyl-1,3-thiazol-2-yl]amino}carbonyl)-1-methyl-1*H*-pyrrol-3-yl]-5-isopropyl-1,3-thiazole-4-carboxamide;

(xiii) 2-(Acetylamino)-*N*-(5-{{[3-(dimethylamino)propyl]amino}-3-oxo-propyl]amino}carbonyl)-1-methyl-1*H*-pyrrol-3-yl)-5-isopropyl-1,3-30 thiazole-4-carboxamide;

(xiv) N^1,N^3 -Bis(2-{{5-({{4-({{3-(dimethylamino)propyl]amino}carbonyl)-5-isopropyl-1,3-thiazol-2-yl]amino}carbonyl)-1-methyl-1H-pyrrol-3-yl]-amino}-2-oxoethyl)isophthalamide;

(xv) N -[5-({{5-({{3-(Dimethylamino)propyl]amino}carbonyl)-1-methyl-1H-pyrrol-3-yl]amino}carbonyl)-1-isopropyl-1H-pyrrol-3-yl]-4-(acetylamino)-1-methyl-1H-pyrrole-2-carboxamide;

(xvi) N -[5-({{5-({{3-(Dimethylamino)propyl]amino}carbonyl)-1-methyl-1H-pyrrol-3-yl]amino}carbonyl)-1-isopentyl-1H-pyrrol-3-yl]-4-(acetylamino)-1-methyl-1H-pyrrole-2-carboxamide;

(xvii) N^2,N^5 -Bis[5-({{4-({{3-(dimethylamino)propyl]amino}carbonyl)-5-isopropyl-1,3-thiazol-2-yl]amino}carbonyl)-1-methyl-1H-pyrrol-3-yl]-1H-indole-2,5-dicarboxamide;

(xviii) N^2,N^5 -Bis[1-isopentyl-5-({{1-methyl-5-({{3-(4-morpholinyl)propyl]amino}carbonyl)-1H-pyrrol-3-yl]amino}carbonyl)-1H-pyrrol-3-yl]-1H-indole-2,5-dicarboxamide;

(xix) N^2,N^5 -Bis[5-({{5-({{3-(dimethylamino)propyl]amino}carbonyl)-1-methyl-1H-pyrrol-3-yl]amino}carbonyl)-1-isopentyl-1H-pyrrol-3-yl]-1H-indole-2,5-dicarboxamide;

(xx) N^2,N^5 -Bis[1-isopentyl-5-({{1-methyl-5-({{3-(4-methyl-1-piperazinyl)propyl]amino}carbonyl)-1H-pyrrol-3-yl]amino}carbonyl)-1H-pyrrol-3-yl]-1H-indole-2,5-dicarboxamide;

(xxi) 2-({{4-({{4-(Acetylamino)-1-methyl-1H-imidazol-2-yl]carbonyl}-amino)-1-methyl-1H-pyrrol-2-yl]carbonyl}amino)- N -[3-(dimethylamino)-propyl]-5-isopropyl-1,3-thiazole-4-carboxamide;

(xxii) 4-(Acetylamino)- N -[1-isopentyl-5-({{1-methyl-5-({{3-(4-methyl-1-piperazinyl)propyl]amino}carbonyl)-1H-pyrrol-3-yl]amino}carbonyl)-1H-pyrrol-3-yl]-1-methyl-1H-pyrrole-2-carboxamide;

(xxiii) N -[1-Isopentyl-5-({{1-methyl-5-({{3-(4-methyl-1-piperazinyl)propyl]amino}carbonyl)-1H-pyrrol-3-yl]amino}carbonyl)-1H-pyrrol-3-yl]-4-[(3-methoxybenzoyl)amino]-1-methyl-1H-pyrrole-2-carboxamide;

(xxiv) *N*-[5-({{3-(Dimethylamino)propyl]amino}carbonyl)-1-methyl-1*H*-pyrrol-3-yl]-4-({{5-(formylamino)-2-methyl-3-thienyl]carbonyl}amino)-1-isopentyl-1*H*-pyrrole-2-carboxamide;

(xxv) *N*-[5-({{5-({{3-(dimethylamino)propyl]amino}carbonyl)-1-methyl-1*H*-pyrrol-3-yl]amino}carbonyl)-1-methyl-1*H*-pyrrol-3-yl]-5-isopropyl-2-[(3-methoxybenzoyl)amino]-1,3-thiazole-4-carboxamide;

(xxvi) *N*-[5-({{3-(Dimethylamino)propyl]amino}carbonyl)-1-methyl-1*H*-pyrrol-3-yl]-4-{{5-{{(9,10-dioxo-9,10-dihydro-2-anthracenyl)carbonyl}amino}-2-methyl-3-thienyl]carbonyl}amino}-1-isopentyl-1*H*-pyrrole-2-carboxamide;

(xxvii) *N*-[1-(Cyclopropylmethyl)-5-({{5-({{3-(dimethylamino)propyl]amino}carbonyl)-1-methyl-1*H*-pyrrol-3-yl]amino}carbonyl)-1*H*-pyrrol-3-yl]-4-(formylamino)-1-methyl-1*H*-pyrrole-2-carboxamide;

(xxviii) 1-Cyclopentyl-*N*-[5-({{3-(dimethylamino)propyl]amino}carbonyl)-1-methyl-1*H*-pyrrol-3-yl]-4-({{4-(formylamino)-1-methyl-1*H*-pyrrol-2-yl]carbonyl}amino)-1*H*-pyrrole-2-carboxamide;

(xxix) *N²,N⁷*-Bis[5-({{4-({{3-(dimethylamino)propyl]amino}carbonyl)-5-isopropyl-1,3-thiazol-2-yl]amino}carbonyl)-1-methyl-1*H*-pyrrol-3-yl]-9,10-dihydro-2,7-phenanthrenedicarboxamide;

(xxx) 4-(Formylamino)-*N*-[1-isopentyl-5-({{1-methyl-5-({{3-(4-methyl-1-piperazinyl)propyl]amino}carbonyl)-1*H*-pyrrol-3-yl]amino}carbonyl)-1*H*-pyrrol-3-yl]-1-methyl-1*H*-pyrrole-2-carboxamide;

(xxxi) 4-(Acetylamino)-*N*-[1-isopentyl-5-({{1-methyl-5-({{3-(4-morpholinyl)propyl]amino}carbonyl)-1*H*-pyrrol-3-yl]amino}carbonyl)-1*H*-pyrrol-3-yl]-1-methyl-1*H*-pyrrole-2-carboxamide;

(xxxii) 4-(Formylamino)-*N*-[1-isopentyl-5-({{1-methyl-5-({{3-(4-morpholinyl)propyl]amino}carbonyl)-1*H*-pyrrol-3-yl]amino}carbonyl)-1*H*-pyrrol-3-yl]-1-methyl-1*H*-pyrrole-2-carboxamide;

(xxxiii) *N*-[5-({[5-({[3-(Dimethylamino)propyl]amino}carbonyl)-1-methyl-1*H*-pyrrol-3-yl]amino}carbonyl)-1-isopentyl-1*H*-pyrrol-3-yl]-4-[(3-methoxybenzoyl)amino]-1-methyl-1*H*-pyrrole-2-carboxamide; and

(xxxiv) *N*-[5-({[5-({[3-(Dimethylamino)propyl]amino}carbonyl)-1-methyl-1*H*-pyrrol-3-yl]amino}carbonyl)-1-isopentyl-1*H*-pyrrol-3-yl]-4-[(4-methoxyphenyl)acetyl] amino}-1-methyl-1*H*-pyrrole-2-carboxamide.

27. A compound as claimed in Claim 26 which is:

(a) *N*-[5-({[5-({[3-(Dimethylamino)propyl]amino}carbonyl)-1-methyl-1*H*-pyrrol-3-yl]amino}carbonyl)-1-isopropyl-1*H*-pyrrol-3-yl]-4-(formylamino)-

10 1-methyl-1*H*-pyrrole-2-carboxamide;

(b) *N*-[3-(Dimethylamino)propyl]-2-({[4-({[4-(formylamino)-1-methyl-1*H*-pyrrol-2-yl]carbonyl}amino)-1-methyl-1*H*-pyrrol-2-yl]carbonyl}-amino)-5-isopropyl-1,3-thiazole-4-carboxamide;

15 (c) *N*-[5-({[3-(Dimethylamino)propyl]amino}carbonyl)-1-methyl-1*H*-pyrrol-3-yl]-2-({[4-(formylamino)-1-methyl-1*H*-pyrrol-2-yl]carbonyl}-amino)-5-isopropyl-1,3-thiazole-4-carboxamide;

(d) *N*-[5-({[5-({[3-(Dimethylamino)propyl]amino}carbonyl)-1-methyl-1*H*-pyrrol-3-yl]amino}carbonyl)-1-isopentyl-1*H*-pyrrol-3-yl]-4-(formylamino)-

20 1-methyl-1*H*-pyrrole-2-carboxamide;

(e) *N²,N⁵*-Bis[1-isopentyl-5-({[1-methyl-5-({[3-(4-morpholinyl)propyl]amino}carbonyl)-1*H*-pyrrol-3-yl]amino}carbonyl)-1*H*-pyrrol-3-yl]-1*H*-indole-2,5-dicarboxamide;

(f) *N*-[1-(Cyclopropylmethyl)-5-({[5-({[3-(dimethylamino)propyl]amino}carbonyl)-1-methyl-1*H*-pyrrol-3-yl]amino}carbonyl)-1*H*-pyrrol-3-

25 yl]-4-(formylamino)-1-methyl-1*H*-pyrrole-2-carboxamide; or

(g) *N²,N⁷*-Bis[5-({[4-({[3-(dimethylamino)propyl]amino}carbonyl)-5-isopropyl-1,3-thiazol-2-yl]amino}carbonyl)-1-methyl-1*H*-pyrrol-3-yl]-9,10-dihydro-2,7-phenanthrenedicarboxamide.

28. A compound as claimed in Claim 27 which is *N*-[3-(dimethylamino)-propyl]-2-({[4-({[4-(formylamino)-1-methyl-1*H*-pyrrol-2-yl]carbonyl}-amino)-1-methyl-1*H*-pyrrol-2-yl]carbonyl}amino)-5-isopropyl-1,3-thiazole-4-carboxamide.

5

29. A compound as claimed in any one of Claims 1 to 15, which binds to and/or has specificity for DNA sequences that contain at least one GC base pairing.

10 30. A compound as claimed in Claim 29, which is:

- (i) a compound of formula I, as defined in any one of Claims 16 to 20 or 22 to 25, provided that the compound comprises at least one structural fragment of formula Id, Ie or If; or
- (ii) a compound of formula II, as defined in any one of Claims 21 to 25.

15

31. A compound as claimed in any one of Claims 1 to 25 which has different binding affinities at different minor groove binding sites in double-stranded DNA molecules having more than one minor groove binding site.

20 32. A compound as claimed in Claim 31, wherein the different minor groove binding sites comprise solely AT base pairs.

25 33. A pharmaceutical formulation including a compound as defined in any one of Claims 1 to 32 in admixture with a pharmaceutically-acceptable adjuvant, diluent or carrier.

34. A pharmaceutical formulation for use in the treatment of a disease that relies upon DNA replication for its propagation, comprising a compound as defined in any one of Claims 1 to 32 in admixture with a pharmaceutically-acceptable adjuvant, diluent or carrier.

35. A compound as defined in any one of Claims 1 to 32 for use as a pharmaceutical.

36. A compound as defined in any one of Claims 1 to 32 for use in the
5 treatment of a disease that relies upon DNA replication for its propagation.

37. The use of a compound as defined in any of one Claims 1 to 32, as active ingredient for the manufacture of a medicament for use in the treatment of a disease that relies upon DNA replication for its propagation.

10

38. A method of treatment of a disease that relies upon DNA replication for its propagation, which method comprises administration of a therapeutically effective amount of a compound as defined in any of one Claims 1 to 32 to a person suffering from that disease.

15

39. A method of treating a viral, bacterial, fungal or other microbial (e.g. parasitic) infection, where the viral, bacterial, fungal or other microbial (e.g. parasitic) infective agent is resistant to one or more anti-viral, anti-bacterial, anti-fungal or other anti-microbial (e.g. anti-parasitic) agents, respectively, 20 that do not act by inhibiting DNA replication, which method comprises administration of a therapeutically effective amount of a compound as defined in any one of Claims 1 to 32 to a person having that infection.

40. A method of treatment of a disease that relies upon DNA replication for its propagation, which method comprises administration, to a person suffering from that disease, of a therapeutically effective amount of a compound as defined in any one of Claims 1 to 32 in combination with one or 25 more other agents that are known to be effective in treating that disease.

41. A combination product comprising components:

- (A) a formulation comprising a compound as defined in any one of Claims 1 to 32; and
- (B) a formulation comprising one or more other chemical agents that are known to be effective in treating diseases that rely upon DNA replication for their propagation.

5

42. A combination product as claimed in Claim 41, wherein each of components (A) and (B) is formulated in admixture with a pharmaceutically-acceptable adjuvant, diluent or carrier.

10

43. A combination product as claimed in Claim 41 or Claim 42, wherein (A) and (B) are presented as separate components.

15

43. A combination product as claimed in Claim 41 or Claim 42, wherein (A) and (B) are presented as a single formulation.

20

45. A method of inhibiting DNA replication, which method comprises contacting the DNA with an inhibitory amount of a compound as defined in any of one Claims 1 to 32.

25

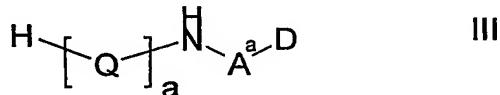
46. A method of stabilising a DNA duplex formed between first and second single strands of DNA, which method comprises contacting that DNA duplex with a compound as defined in any of one Claims 1 to 32.

47. A method of enhancing the difference in melting temperatures between first and second DNA duplexes, wherein each DNA duplex is formed from a first single strand of DNA that is the same in each duplex and a second single strand of DNA that is different in each duplex, which

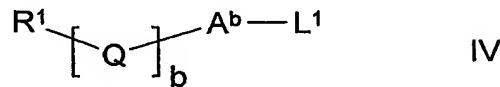
method comprises contacting each DNA duplex with a compound as defined in any of one Claims 1 to 32.

48. A process for the preparation of compounds of formula I as defined in
5 Claim 16 which comprises:

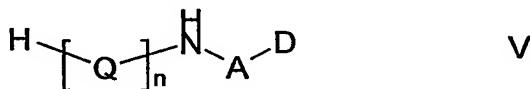
(a) reaction of a compound of formula III,



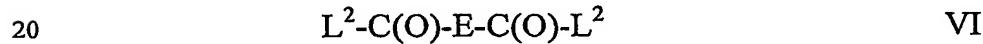
wherein A^a represents A or, when a represents 0, then A^a may also represent A^2 and Q , D , A and A^2 are as defined in Claim 16 and a is as defined below,
10 with a compound of formula IV,



wherein A^b represents a direct bond or $-\text{A}^1\text{---C(O)}$, as appropriate, L^1 represents a leaving group, a and b both represent integers from 0 to 5, the sum of the two being 2, 3, 4 or 5, and R^1 and Q are as defined in Claim 16;
15 (b) for compounds of formula I in which R^1 represents $\text{D}\text{---A}\text{---N(H)}\text{---}[\text{Q}]_n\text{---C(O)}\text{---E}\text{---C(O)}$, reaction of two equivalents of a compound of formula V,



wherein Q , n , A and D are as defined in Claim 16, with a compound of formula VI,



wherein L^2 represents a leaving group, the two L^2 groups being the same or different, and E is as defined in Claim 16; or
(c) deprotection of a protected derivative of a compound of formula I as defined in Claim 16.

140

49. A compound of formula V, as defined in Claim 48, or a protected derivative thereof.